

Note: Applicant uses:

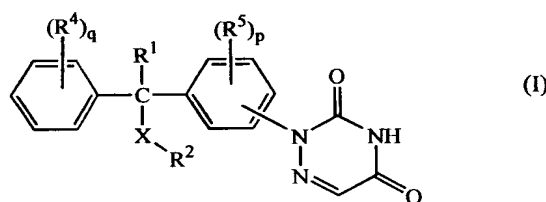
- ~~Cross-out text~~ to indicate deletions
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Claims:

1. through 12. Canceled

13. (New) A method of marking or identifying a receptor comprising the steps of:

a) radiolabelling a compound of formula (I)



a *N*-oxide, a pharmaceutically acceptable addition salt or a stereochemically isomeric form thereof, wherein :

p represents an integer being 0, 1, or 2;

q represents an integer being 0, 1, or 2;

X represents O, S, NR^3 or a direct bond;

R^1 represents hydrogen, hydroxy, halo, amino, C_{1-6} alkyl, C_{1-6} alkyloxy or mono- or di(C_{1-4} alkyl)amino C_{1-4} alkylamino; in particular, hydrogen, methyl and hydroxy;

R^2 represents oxadiazolyl, thiazolyl, pyrimidinyl or pyridinyl; wherein said heterocycles each independently may optionally be substituted with one, or where possible, two or three substituents each independently selected from Het^2 , R^{11} and C_{1-4} alkyl optionally substituted with Het^2 or R^{11} ;

each R^4 independently represents C_{1-6} alkyl, halo, polyhalo C_{1-6} alkyl or C_{1-6} alkyloxy;

each R^5 independently represents C_{1-6} alkyl, halo or C_{1-6} alkyloxy;

each R^6 independently represents C_{1-6} alkylsulfonyl, aminosulfonyl or phenyl C_{1-4} alkylsulfonyl;

each R⁷ and each R⁸ are independently selected from hydrogen, C₁₋₄alkyl, hydroxyC₁₋₄alkyl, dihydroxyC₁₋₄alkyl, aryl, arylC₁₋₄alkyl, C₁₋₄alkyloxyC₁₋₄alkyl, mono- or di(C₁₋₄alkyl)aminoC₁₋₄alkyl, arylaminocarbonyl, arylaminothiocarbonyl, C₃₋₇cycloalkyl, pyridinylC₁₋₄alkyl, Het³ and R⁶;

R⁹ and R¹⁰ are each independently selected from hydrogen, C₁₋₄alkyl,

C₁₋₄alkylcarbonyloxyC₁₋₄alkylcarbonyl, hydroxyC₁₋₄alkylcarbonyl,

C₁₋₄alkyloxycarbonylcarbonyl, Het³aminothiocarbonyl and R⁶;

each R¹¹ independently being selected from hydroxy, mercapto, cyano, nitro, halo,

trihalomethyl, C₁₋₄alkyloxy, carboxyl, C₁₋₄alkyloxycarbonyl,

trihaloC₁₋₄alkylsulfonyloxy, R⁶, NR⁷R⁸, C(=O)NR⁷R⁸, aryl, aryloxy, arylcarbonyl,

C₃₋₇cycloalkyl, C₃₋₇cycloalkyloxy, phthalimide-2-yl, Het³ and C(=O)Het³;

R¹² and R¹³ are each independently selected from hydrogen and C₁₋₄alkyl;

aryl represents phenyl optionally substituted with one, two or three substituents each

independently selected from nitro, azido, halo, hydroxy, C₁₋₄alkyl, C₁₋₄alkyloxy,

polyhaloC₁₋₄alkyl, NR⁹R¹⁰, R⁶, phenyl, Het³ and C₁₋₄alkyl substituted with NR⁹R¹⁰;

Het¹ represents a heterocycle selected from a heterocycle selected from imidazolyl,

triazolyl, furanyl, oxazolyl, thiazolyl, thiazolinyl, thiadiazolyl, oxadiazolyl, pyridinyl,

pyrimidinyl, pyrazinyl, piperidinyl, piperazinyl, triazinyl, benzothiazolyl, benzoxazolyl,

purinyl, 1*H*-pyrazolo-[3,4-*d*]pyrimidinyl, benzimidazolyl, thiazolopyridinyl,

oxazolopyridinyl, imidazo-[2,1-*b*]thiazolyl; wherein said heterocycles each

independently may optionally be substituted with one, or where possible, two or three

substituents each independently selected from Het², R¹¹ and C₁₋₄alkyl optionally

substituted with Het² or R¹¹;

Het² represents furanyl, thienyl or pyridinyl; wherein said monocyclic heterocycles each

independently may optionally be substituted with C₁₋₄alkyl;

Het³ represents pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl;

wherein said monocyclic heterocycles each independently may optionally be substituted

with, where possible, one, two or three substituents each independently selected from C₁₋

4alkyl, C₁₋₄alkyloxy, C₁₋₄alkyloxycarbonyl, C₁₋₄alkylcarbonyl, phenylC₁₋₄alkyl,

piperidinyl, NR¹²R¹³ and C₁₋₄alkyl substituted with NR¹²R¹³;

- b) administering said radiolabelled compound to biological material; and
- c) detecting the emissions from the radiolabelled compound.

14. (New) The method of claim 13 wherein the 6-azauracil moiety of said compound according to claim 13 is in the para position relative to the central carbon atom.

15. (New) The method of claim 13 wherein the 6-azauracil moiety of said compound according to claim 13 is in the para position relative to the central carbon atom; q is 1 or 2 and one R⁴ substituent is in the 4 position; and p is 1 or 2 and the one or two R⁵ substituents are in the ortho position relative to the central carbon atom.

16. (New) The method of claim 13 wherein one or more atoms in the compound are replaced by radioactive isotopes.

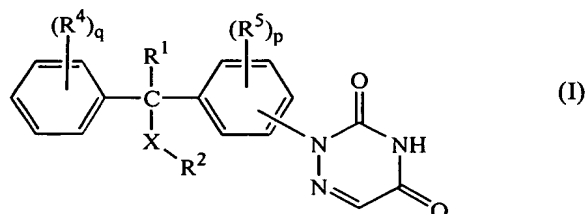
17. (New) The method of claim 13 wherein the compound comprises at least one halo which is a radioactive isotope of iodine, bromine, or fluorine.

18. (New) The method of claim 13 wherein the compound comprises at least one ¹¹C-atom or tritium atom.

19. (New) The method of claim 13 wherein R³ and/or R⁴ are a radioactive halogen atom.

20. (New) A method of imaging an organ ,comprising the steps of:

a) radiolabelling a compound of formula (I)



a *N*-oxide, a pharmaceutically acceptable addition salt or a stereochemically isomeric form thereof, wherein :

p represents an integer being 0, 1, or 2;

q represents an integer being 0, 1, or 2;

X represents O, S, NR³ or a direct bond;

R¹ represents hydrogen, hydroxy, halo, amino, C₁₋₆alkyl, C₁₋₆alkyloxy or mono- or di(C₁₋₄alkyl)aminoC₁₋₄alkylamino; in particular, hydrogen, methyl and hydroxy;

R² represents oxadiazolyl, thiazolyl, pyrimidinyl or pyridinyl; wherein said heterocycles each independently may optionally be substituted with one, or where possible, two or three substituents each independently selected from Het², R¹¹ and C₁₋₄alkyl optionally substituted with Het² or R¹¹;

each R⁴ independently represents C₁₋₆alkyl, halo, polyhaloC₁₋₆alkyl or C₁₋₆alkyloxy;

each R⁵ independently represents C₁₋₆alkyl, halo or C₁₋₆alkyloxy;

each R⁶ independently represents C₁₋₆alkylsulfonyl, aminosulfonyl or phenylC₁₋₄alkylsulfonyl;

each R⁷ and each R⁸ are independently selected from hydrogen, C₁₋₄alkyl, hydroxyC₁₋₄alkyl, dihydroxyC₁₋₄alkyl, aryl, arylC₁₋₄alkyl, C₁₋₄alkyloxyC₁₋₄alkyl, mono- or di(C₁₋₄alkyl)aminoC₁₋₄alkyl, arylaminocarbonyl, arylaminothiocarbonyl, C₃₋₇cycloalkyl, pyridinylC₁₋₄alkyl, Het³ and R⁶;

R⁹ and R¹⁰ are each independently selected from hydrogen, C₁₋₄alkyl,

C₁₋₄alkylcarbonyloxyC₁₋₄alkylcarbonyl, hydroxyC₁₋₄alkylcarbonyl,

C₁₋₄alkyloxyC₁₋₄alkylcarbonyl, Het³aminothiocarbonyl and R⁶;

each R¹¹ independently being selected from hydroxy, mercapto, cyano, nitro, halo, trihalomethyl, C₁₋₄alkyloxy, carboxyl, C₁₋₄alkyloxyC₁₋₄alkyl,

trihaloC₁₋₄alkylsulfonyloxy, R⁶, NR⁷R⁸, C(=O)NR⁷R⁸, aryl, aryloxy, arylcarbonyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkyloxy, phthalimide-2-yl, Het³ and C(=O)Het³;

R¹² and R¹³ are each independently selected from hydrogen and C₁₋₄alkyl;

aryl represents phenyl optionally substituted with one, two or three substituents each independently selected from nitro, azido, halo, hydroxy, C₁₋₄alkyl, C₁₋₄alkyloxy, polyhaloC₁₋₄alkyl, NR⁹R¹⁰, R⁶, phenyl, Het³ and C₁₋₄alkyl substituted with NR⁹R¹⁰;

Het¹ represents a heterocycle selected from a heterocycle selected from imidazolyl, triazolyl, furanyl, oxazolyl, thiazolyl, thiazolinyl, thiadiazolyl, oxadiazolyl, pyridinyl,

pyrimidinyl, pyrazinyl, piperidinyl, piperazinyl, triazinyl, benzothiazolyl, benzoxazolyl, purinyl, 1*H*-pyrazolo-[3,4-*d*]pyrimidinyl, benzimidazolyl, thiazolopyridinyl, oxazolopyridinyl, imidazo-[2,1-*b*]thiazolyl; wherein said heterocycles each independently may optionally be substituted with one, or where possible, two or three substituents each independently selected from Het², R¹¹ and C₁₋₄alkyl optionally substituted with Het² or R¹¹;

Het² represents furanyl, thienyl or pyridinyl; wherein said monocyclic heterocycles each independently may optionally be substituted with C₁₋₄alkyl;

Het³ represents pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl; wherein said monocyclic heterocycles each independently may optionally be substituted with, where possible, one, two or three substituents each independently selected from C₁₋₄alkyl, C₁₋₄alkyloxy, C₁₋₄alkyloxycarbonyl, C₁₋₄alkylcarbonyl, phenylC₁₋₄alkyl, piperidinyl, NR¹²R¹³ and C₁₋₄alkyl substituted with NR¹²R¹³;

- b) administering a sufficient amount of said radiolabelled compound in an appropriate composition to an animal; and
- c) detecting the location of said radiolabelled compound.

21. (New) The method of claim 20 wherein the 6-azauracil moiety of said compound according to claim 20 is in the para position relative to the central carbon atom.

22. (New) The method of claim 20 wherein the 6-azauracil moiety of said compound according to claim 20 is in the para position relative to the central carbon atom; q is 1 or 2 and one R⁴ substituent is in the 4 position; and p is 1 or 2 and the one or two R⁵ substituents are in the ortho position relative to the central carbon atom.

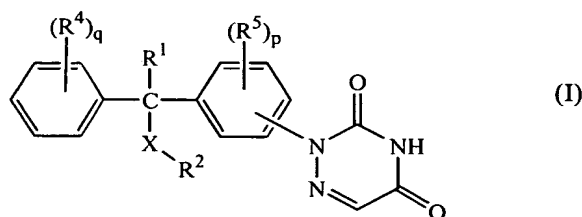
23. (New) The method of claim 20 wherein one or more atoms in the compound are replaced by radioactive isotopes.

24. (New) The method of claim 20 wherein the compound comprises at least one halo which is a radioactive isotope of iodine, bromine, or fluorine.

25. (New) The method of claim 20 wherein the compound comprises at least one ^{11}C -atom or tritium atom.
26. (New) The method of claim 20 wherein R^3 and/or R^4 are a radioactive halogen atom.
27. (New) The method of claim 20 wherein the location of said radiolabelled compounds is detected using imaging techniques.
28. (New) The method of claim 27 wherein said imaging techniques comprises positron emission tomography.
29. (New) The method of claim 27 wherein said imaging techniques comprises single photon emission computerized tomography.
30. (New) The method of claim 13 wherein said biological material comprises an animal.
31. (New) The method of claim 13, wherein said biological material comprises a human being.
32. (New) The method of claim 13, wherein said biological material comprises a tissue sample.
33. (New) The method of claim 13 wherein the emissions of said radiolabelled compounds is detected using imaging techniques.
34. (New) The method of claim 33 wherein said imaging techniques comprises positron emission tomography.
35. (New) The method of claim 33 wherein said imaging techniques comprises single photon emission computerized tomography.

36. (New) A method of evaluating receptor binding ability of a test compound, comprising the steps of:

a) radiolabelling a compound of formula (I)



a *N*-oxide, a pharmaceutically acceptable addition salt or a stereochemically isomeric form thereof, wherein :

p represents an integer being 0, 1, or 2;

q represents an integer being 0, 1, or 2;

X represents O, S, NR^3 or a direct bond;

R^1 represents hydrogen, hydroxy, halo, amino, C_{1-6} alkyl, C_{1-6} alkyloxy or mono- or di(C_{1-4} alkyl)amino C_{1-4} alkylamino; in particular, hydrogen, methyl and hydroxy;

R^2 represents oxadiazolyl, thiazolyl, pyrimidinyl or pyridinyl; wherein said heterocycles each independently may optionally be substituted with one, or where possible, two or three substituents each independently selected from Het^2 , R^{11} and C_{1-4} alkyl optionally substituted with Het^2 or R^{11} ;

each R^4 independently represents C_{1-6} alkyl, halo, polyhalo C_{1-6} alkyl or C_{1-6} alkyloxy;

each R^5 independently represents C_{1-6} alkyl, halo or C_{1-6} alkyloxy;

each R^6 independently represents C_{1-6} alkylsulfonyl, aminosulfonyl or phenyl C_{1-4} alkylsulfonyl;

each R^7 and each R^8 are independently selected from hydrogen, C_{1-4} alkyl, hydroxy C_{1-4} alkyl, dihydroxy C_{1-4} alkyl, aryl, aryl C_{1-4} alkyl, C_{1-4} alkyloxy C_{1-4} alkyl, mono- or di(C_{1-4} alkyl)amino C_{1-4} alkyl, arylaminocarbonyl, arylaminothiocarbonyl, C_{3-7} cycloalkyl, pyridinyl C_{1-4} alkyl, Het^3 and R^6 ;

R^9 and R^{10} are each independently selected from hydrogen, C_{1-4} alkyl, C_{1-4} alkylcarbonyloxy C_{1-4} alkylcarbonyl, hydroxy C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonylcarbonyl, Het^3 aminothiocarbonyl and R^6 ;

- each R^{11} independently being selected from hydroxy, mercapto, cyano, nitro, halo, trihalomethyl, C_{1-4} alkyloxy, carboxyl, C_{1-4} alkyloxycarbonyl, trihalo C_{1-4} alkylsulfonyloxy, R^6 , NR^7R^8 , $C(=O)NR^7R^8$, aryl, aryloxy, arylcarbonyl, C_{3-7} cycloalkyl, C_{3-7} cycloalkyloxy, phthalimide-2-yl, Het^3 and $C(=O)Het^3$;
 R^{12} and R^{13} are each independently selected from hydrogen and C_{1-4} alkyl;
aryl represents phenyl optionally substituted with one, two or three substituents each independently selected from nitro, azido, halo, hydroxy, C_{1-4} alkyl, C_{1-4} alkyloxy, polyhalo C_{1-4} alkyl, NR^9R^{10} , R^6 , phenyl, Het^3 and C_{1-4} alkyl substituted with NR^9R^{10} ;
 Het^1 represents a heterocycle selected from a heterocycle selected from imidazolyl, triazolyl, furanyl, oxazolyl, thiazolyl, thiazolynyl, thiadiazolyl, oxadiazolyl, pyridinyl, pyrimidinyl, pyrazinyl, piperidinyl, piperazinyl, triazinyl, benzothiazolyl, benzoxazolyl, purinyl, 1*H*-pyrazolo-[3,4-*d*]pyrimidinyl, benzimidazolyl, thiazolopyridinyl, oxazolopyridinyl, imidazo-[2,1-*b*]thiazolyl; wherein said heterocycles each independently may optionally be substituted with one, or where possible, two or three substituents each independently selected from Het^2 , R^{11} and C_{1-4} alkyl optionally substituted with Het^2 or R^{11} ;
 Het^2 represents furanyl, thienyl or pyridinyl; wherein said monocyclic heterocycles each independently may optionally be substituted with C_{1-4} alkyl;
 Het^3 represents pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl; wherein said monocyclic heterocycles each independently may optionally be substituted with, where possible, one, two or three substituents each independently selected from C_{1-4} alkyl, C_{1-4} alkyloxy, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyl, phenyl C_{1-4} alkyl, piperidinyl, $NR^{12}R^{13}$ and C_{1-4} alkyl substituted with $NR^{12}R^{13}$;
b) administering said radiolabelled compound to biological material; and
c) detecting displacement of said compound of formula (I) by said test compound.

37. (New) The method of claim 36 wherein the 6-azauracil moiety of said compound according to claim 36 is in the para position relative to the central carbon atom.

38. (New) The method of claim 36 wherein the 6-azauracil moiety of said compound according to claim 20 is in the para position relative to the central carbon atom; q is 1 or 2 and one R⁴ substituent is in the 4 position; and p is 1 or 2 and the one or two R⁵ substituents are in the ortho position relative to the central carbon atom.

39. (New) The method of claim 36 wherein one or more atoms in the compound are replaced by radioactive isotopes.

40. (New) The method of claim 36 wherein the compound comprises at least one halo which is a radioactive isotope of iodine, bromine, or fluorine.

41. (New) The method of claim 36 wherein the compound comprises at least one ¹¹C-atom or tritium atom.

42. (New) The method of claim 36, wherein R³ and/or R⁴ are a radioactive halogen atom.